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                 CAOLD to be discontinued on December 31, 2008
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         AUG 15
                 CAS definition of basic patents expanded to ensure
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                 comprehensive access to substance and sequence
                  information
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                 to be discontinued
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                 CA/CAplus current-awareness alert options enhanced
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                 to accommodate supplemental CAS indexing of
                 exemplified prophetic substances
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                 WPIDS, WPINDEX, and WPIX coverage of Chinese and
                 and Korean patents enhanced
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                 IFICLS enhanced with new super search field
NEWS 15
         SEP 29
                 EMBASE and EMBAL enhanced with new search and
                 display fields
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         SEP 30
                 prophetic substances identified in new Japanese-
                 language patents
NEWS 17
         OCT 07
                 EPFULL enhanced with full implementation of EPC2000
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                 enhanced
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NEWS 20
                 Applications
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                 CHEMLIST enhanced with intermediate list of
                 pre-registered REACH substances
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ENTER SCREEN EXPRESSION OR (END):end

=> Uploading C:\Program Files\STNEXP\Queries\10572968 str 1.str

L1 STRUCTURE UPLOADED

=> que L1

L2 QUE L1

=> d 12

L2 HAS NO ANSWERS

L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

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FULL SCREEN SEARCH COMPLETED - 232 TO ITERATE
100.0% PROCESSED
                      232 ITERATIONS
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SEARCH TIME: 00.00.01
L3
              0 SEA SSS FUL L1
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ENTER SCREEN EXPRESSION OR (END):end
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T.4
       STRUCTURE UPLOADED
=> que L4
   QUE L4
L5
=> d 14
L4 HAS NO ANSWERS
                STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
Structure attributes must be viewed using STN Express query preparation.
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100.0% PROCESSED
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L6
              0 SEA SSS FUL L4
=> S "[3-[(2-Amino-benzooxazole-6-sulfonyl)-isobutyl-amino]-benzyl-2-hydr-
oxy-propyl]-carbamic acid thiazol-5-ylmethyl ester"/cn
            0 "[3-[(2-AMINO-BENZOOXAZOLE-6-SULFONYL)-ISOBUTYL-AMINO]-BENZYL-2-
L7
               HYDR- OXY-PROPYL]-CARBAMIC ACID THIAZOL-5-YLMETHYL ESTER"/CN
"[3-[(2-Amino-benzooxazole-6-sulfonyl)-isobutyl-amino]-benzyl-2-hydroxy-propyl]-carb
amic acid thiazol-5-ylmethyl ester"/cn
             0 "[3-[(2-AMINO-BENZOOXAZOLE-6-SULFONYL)-ISOBUTYL-AMINO]-BENZYL-2-
L8
               HYDROXY-PROPYL]-CARBAMIC ACID THIAZOL-5-YLMETHYL ESTER"/CN
=> ....Testing the current file.... screen
ENTER SCREEN EXPRESSION OR (END):end
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L9 STRUCTURE UPLOADED
=> que L9
L10 OUE L9
=> s 110 sss full
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FULL SCREEN SEARCH COMPLETED - 232 TO ITERATE
100.0% PROCESSED 232 ITERATIONS
                                                               0 ANSWERS
SEARCH TIME: 00.00.01
            0 SEA SSS FUL L9
L11
=> ....Testing the current file.... screen
ENTER SCREEN EXPRESSION OR (END):end
Uploading C:\Program Files\STNEXP\Queries\10572968 str4.str
L12 STRUCTURE UPLOADED
=> que L12
L13 QUE L12
=> s 113 sss full
FULL SEARCH INITIATED 08:53:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 232 TO ITERATE
100.0% PROCESSED 232 ITERATIONS
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SEARCH TIME: 00.00.01
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L14
=> ....Testing the current file.... screen
ENTER SCREEN EXPRESSION OR (END):end
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Uploading C:\Program Files\STNEXP\Queries\10572968 str5.str
L15 STRUCTURE UPLOADED
=> que L15
L16 QUE L15
=> s 116 sss full
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FULL SCREEN SEARCH COMPLETED - 232 TO ITERATE
100.0% PROCESSED 232 ITERATIONS
                                                               5 ANSWERS
SEARCH TIME: 00.00.01
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=> d str

L17 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2008 ACS on STN

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> file caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 933.04 933.25

FILE 'CAPLUS' ENTERED AT 08:57:38 ON 10 NOV 2008
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FILE COVERS 1907 - 10 Nov 2008 VOL 149 ISS 20 FILE LAST UPDATED: 9 Nov 2008 (20081109/ED)

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=> s 117

L18 6 L17

=> d 118 1-6 ibib ab hitstr

L18 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:501142 CAPLUS

DOCUMENT NUMBER: 148:479857

TITLE: Bioavailable combinations comprising hepatitis C virus

NS3/4a protease inhibitor for hepatitis C treatment Van't Klooster, Gerben Albert Eleutherius; De Kock, Herman Augustinus; Raboisson, Pierre Jean-Marie

Herman Augustinus; Raboisson, Pierre Jean-Marie Bernard; Van den Eynde, Christel Florentina E.

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 54pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

PATENT NO.					KIND		DATE			APPLICATION NO.						DATE			
WO	O 2008046860					_	20080424			WO 2007-EP61092						20071017			
	W: AE, AG, AL		AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,			
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FΙ,		
		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,		
		KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,		
		MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,		
		PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,		
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	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,		
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,		
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,		
		GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,		
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM											

PRIORITY APPLN. INFO.:

EP 2006-122446 A 20061017

OTHER SOURCE(S): MARPAT 148:479857

The present invention relates to the combination comprising an hepatitis C virus (HCV) NS3/4a protease inhibitor and a compound of formula (I). The combination is useful to improve the bioavailability of the HCV NS3/4a protease inhibitor. As such, the combination is useful for treating conditions associated with the Hepatitis C virus in patients. Pharmaceutical compns. and kits comprising this combination, and processes for preparing the combination and the pharmaceutical formulations are also provided. Thus, different HCV NS3/4a protease inhibitors were tested in a metabolic blocking experiment using 3 μM test compound together with 10 μM of compound of formula I acting as a cytochrome P 450 inhibitor (or booster). Test compds. and compound of formula I were added to human liver microsomes (protein concentration 1 mg/mL) suspended in potassium phosphate buffer (pH = 7.4), to get final reaction mixture concns. of 3 μM test compound and 10 μM of compound of formula I. The experiment showed an almost complete blocking of test compound (3 μM) metabolization by addition of 10 μM of compound of formula I.

IT 1019330-02-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (bioavailable combinations comprising hepatitis C virus NS3/4a protease inhibitor for hepatitis C treatment)

RN 1019330-02-9 CAPLUS

CN Carbamic acid, N-[(1R,2S)-3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 5-thiazolylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.

L18 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1097492 CAPLUS

DOCUMENT NUMBER: 145:432164

TITLE: Use of a sulfonamide compound for improving the

pharmacokinetics of a drug

INVENTOR(S): Van 't Klooster, Gerben Albert Eleutherius; Wigerinck,

Piet Tom Bert Paul; De Meyer, Sandra; Baert, Lieven

Elvire Colette; De Kock, Herman Augustinus

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

PCT Int. Appl., 29pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION: רע הואמה עי

PAT	PATENT NO.						KIND DATE				APPLICATION NO.						DATE				
					A2 20061019 A3 20080110			WO 2006-EP61614						20060414							
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,				
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,				
		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,				
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		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,				
		KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AP,	EA,	EP,	OA										
AU	2006	2343.	35		A1		2006	1019		AU 2	006-	2343.	35		2	0060	414				
CA	2604	799			A1 20061019			CA 2006-2604799													
EP	1874	307			A2 20080109			EP 2006-754743													
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	CN 101175491														20071015						
	2007				А		2007	1123			007-		. —		_	0071					
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											005-					0050					
										WO 2	006-	EP61	614	١	w 2	0060	414				
THER SO	HER SOURCE(S):					PAT	145:	43210	54												

OTHER SOURCE(S): MARPAT 145:432164

A method for improving the pharmacokinetics of drugs, which are metabolized by cytochrome P 450 monooxygenase is disclosed. More specifically it relates to a method for improving the pharmacokinetics of retroviral protease inhibitors and in particular for improving the pharmacokinetics of human immunodeficiency virus (HIV) protease

inhibitors. A pharmaceutical composition and its use in the manufacture of a medicament for the inhibition or treatment of an HIV infection or AIDS in a human being are also part of the invention.

IT 470704-98-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of sulfonamide compound for improving pharmacokinetics of drug)

RN 470704-98-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 5-thiazolylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L18 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:300421 CAPLUS

DOCUMENT NUMBER: 142:373819

TITLE: Methods for the preparation of aminohydroxypropyl

benzooxazolesulfonamides as intermediates in the

preparation of HIV protease inhibitors

INVENTOR(S): De Kock, Herman Augustinus; Filliers, Walter Ferdinand

Maria; Aelterman, Wim Albert Alex

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 65 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ΓENT	NO.		KIND DATE				APPLICATION NO.						DATE				
WO 2005030739					A1 20050407				WO 2	 004-	 EP52		20040930					
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EP 1670773			A1		2006	0621		EP 2	004-	7668	69		20040930					
EP	EP 1670773				В1		2007	0207										
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BR 2004014916	A	20061107	BR	2004-14916		20040930
CN 1860107	A	20061108	CN	2004-80028097		20040930
AT 353323	T	20070215	AT	2004-766869		20040930
JP 2007507468	T	20070329	JP	2006-530265		20040930
ES 2281828	Т3	20071001	ES	2004-766869		20040930
IN 2006DN00930	A	20070810	IN	2006-DN930		20060222
US 20070123574	A1	20070531	US	2006-574157		20060328
MX 2006PA03575	A	20060605	MX	2006-PA3575		20060330
NO 2006001951	A	20060502	ИО	2006-1951		20060502
PRIORITY APPLN. INFO.:			EP	2003-103630	A	20030930
			US	2003-507996P	P	20031002
			WO	2004-EP52382	W	20040930

OTHER SOURCE(S): CASREACT 142:373819; MARPAT 142:373819

Aminohydroxypropyl benzoxazolesulfonamides I [E = electrophilic moiety; PG = protecting group; R2 = H, alkyl; R3 = (un)substituted cycloalkyl, aryl, heteroaryl, alkyl; R4 = H, HO2C, (un)substituted alkyl, alkoxycarbonyl, aminocarbonyl, cycloalkyl, alkenyl, alkynyl] such as II (R5 = MeS; R6 = Me3C) are prepared as intermediates in the synthesis of HIV protease inhibitors such as II (R5 = H2N; R6 = 5-thiazolylmethyl). S-alkylation of 2-benzoxazolethione followed by regioselective sulfonylation yields an benzoxazolesulfonic acid derivative which sulfonylates an amino alc. (derived from ring opening of an epoxide with an amine) to provide I. For example, 2-mercaptobenzoxazole is methylated and the product regioselectively sulfonylated with chlorosulfonic acid and converted to the sulfonyl chloride with thionyl chloride to yield 2-(methylthio)-6-benzoxazolesulfonyl chloride. Ring opening of [1-(Boc-amino)-2-phenylethyl]oxirane (Boc = Me3COCO) with isobutylamine yields the amine PhCH2CH(NHBoc)CH(OH)CH2NHCH2CHMe2 (III). Sulfonylation of III with 2-(methylthio)-6-benzoxazolesulfonyl chloride provides II (R5 = MeS; R6 = Me3C). Heating of II (R5 = MeS; R6 = Me3C) with ammonia under pressure, cleavage of the Boc group with hydrogen chloride in isopropanol, and treatment with mono(N-hydroxysuccinimidyl) mono(5-thiazolemethyl) carbonate yields II (R5 = H2N; R6 = 5-thiazolylmethyl).

IT 848985-05-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; methods for the preparation of aminohydroxypropyl benzoxazolesulfonamides as intermediates in the preparation of HIV protease inhibitors)

RN 848985-05-7 CAPLUS

CN Carbamic acid, [3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 5-thiazolylmethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:300242 CAPLUS

DOCUMENT NUMBER: 142:349031

TITLE: Sulfonamides for inhibition of hepatitis C virus (HCV)

or combined HCV and HIV infections

Simmen, Kenneth Alan; Van Acker, Koenraad Lodewijk INVENTOR(S): August; Wigerinck, Piet Tom Bert Paul; Surleraux, Dominique Louis Nestor Ghislain; Dams, Gery Karel Julia; Quirynen, Ludo Maria Marcel; Hertogs, Kurt; Pauwels, Rudi Wilfried Jan PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire. SOURCE: PCT Int. Appl., 41 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: KIND DATE PATENT NO. APPLICATION NO. ----______ WO 2005030194 A1 20050407 WO 2004-EP52388 20040930 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 1670448 Α1 20060621 EP 2004-766871 20040930 В1 20071121 EP 1670448 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR JP 2007507469 T 20070329 JP 2006-530267 20040930 T3 20080501 A1 20080925 ES 2004-766871 ES 2297467 20040930 US 20080234288 US 2006-572968 20060321

 US 2006-572968
 20060321

 EP 2003-103629
 A 20030930

 US 2003-507535P
 P 20031001

 WO 2004-EP52388
 W 20040930

 PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 142:349031 The invention discloses sulfonamide derivs. I (Q1 = S, O; R1 = H, C1-6 alkyl, OH, amino, halo, etc.; R2 = H, C1-6 alkyl; R3 = C1-6 alkyl, aryl, C3-7 cycloalkyl, etc.; R4 = H, C1-4 alkyloxycarbonyl, carboxyl, etc.; Q2 = substituted Ph, heterocyclyl), and N-oxides, salts, stereoisomeric forms, racemic mixts., prodrugs, and esters thereof, for the manufacture of a medicament useful for inhibiting HCV activity in a mammal infected with HCV. The invention also discloses the use of these sulfonamides in pharmaceutical compns. aimed to treat or combat combined HCV and HIV infections. In addition, the invention discloses processes for preparation of such pharmaceutical compns. The invention also discloses combinations of the sulfonamides with other anti-HCV agents and/or anti-HIV agents. 848985-05-7 848985-05-7D, N-oxides, stereroisomers, or ΙT salts 848985-16-0 848985-16-0D, N-oxides, stereroisomers, or salts RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (sulfonamides for inhibition of hepatitis C virus (HCV) or combined HCV and HIV infections) RN 848985-05-7 CAPLUS

Carbamic acid, [3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-

ester (9CI) (CA INDEX NAME)

methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 5-thiazolylmethyl

CN

RN 848985-05-7 CAPLUS

CN Carbamic acid, [3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 5-thiazolylmethyl ester (9CI) (CA INDEX NAME)

RN 848985-16-0 CAPLUS

CN Carbamic acid, [3-[[(2-amino-6-benzoxazoly1)sulfony1](2-methylpropy1)amino]-2-hydroxy-1-(phenylmethyl)propy1]-, (2-chloro-5-thiazoly1)methyl ester (9CI) (CA INDEX NAME)

RN 848985-16-0 CAPLUS

CN Carbamic acid, [3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (2-chloro-5-thiazolyl)methyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:888736 CAPLUS

DOCUMENT NUMBER: 137:384835

TITLE: Preparation of 2-amino-benzoxazole sulfonamide as

broad-spectrum HIV protease inhibitors

INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain;

Vendeville, Sandrine Marie Helene; Verschueren, Wim Gaston; De Bethune, Marie-Pierre T. M. M. G.; De Kock,

Herman Augustinus; Tahri, Abdellah

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	TENT				KIND DATE			APPLICATION NO.											
								WO 2002-EP5212											
	W:	ΑE,	AG,	AL,	ΑM,	AT,	ΑU,	ΑZ,	ΒA,	BE	3, B	G, BR	BY,	BZ,	CA,	CH,	CN,		
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	Ε, Κ	G, KP	KR,	KΖ,	LC,	LK,	LR,		
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	M	J, M	W, MX	, MZ,	NO,	NΖ,	OM,	PH,		
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SF	ζ , Si	L, TJ	TM,	TN,	TR,	TT,	TZ,		
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	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	Z, T	Z, UG	ZM,	ZW,	ΑT,	BE,	CH,		
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EP	1387	842			A1		2004	0211				2-735							
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CN	150 /	446			A 20040623			0623	CN 2002-809/41						20020510				
									HU 2004-438						20020510				
HU	2004	0004.	38		A3		2007	0828							_				
JP	2004	5347.	57		T		2004	1118		JΡ	200	2-589	179		2	0020	510		
NZ	5292	50			Α		2005	0527		JP 2002-589479 NZ 2002-529250 AP 2003-2904					20020510				
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	1083											3-108							
					А		∠005	U3U/				3-PA1				0031			
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OTHER SOURCE(S): MARPAT 137:384835

AB Title compds. I [R1, R8 = H, alkyl, alkenyl, arylalkyl, cycloalkyl, aryl, heterocyclyl, etc.; R2 = H, alkyl; L = CO, OCO, NR8CO, etc.; R3 = alkyl, cycloalkyl, aryl, etc.; R4 = H, alkoxycarbonyl, carboxy, aminocarbonyl, cycloalkyl, etc.; R5-6 = H, alkyl], N-oxides, stereoisomers, metabolites and prodrugs thereof were prepared For instance, II was prepared from the corresponding diamine (preparation described), N,N'-disuccinimidylcarbonate and 5-hydroxymethylthiazole (CH2Cl2, 6 h). Compds. of the invention are effective in inhibiting a broad range of mutant HIV strains; II had pEC50 = 8.18 against HIV-1 (Lai strain).

IT 470704-98-4P 475488-43-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-amino-benzoxazole sulfonamide as broad-spectrum HIV protease inhibitors)

RN 470704-98-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[(2-amino-6-benzoxazoly1)sulfony1](2-methylpropy1)amino]-2-hydroxy-1-(phenylmethyl)propy1]-, 5-thiazolylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 475488-43-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (2-chloro-5-thiazolyl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:793630 CAPLUS

DOCUMENT NUMBER: 137:310904

TITLE: Preparation of 2-(substituted-amino)benzoxazole

sulfonamides as broadspectrum HIV protease inhibitors

INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain;

Vendeville, Sandrine Marie Helene; Verschueren, Wim Gaston; De Bethune, Marie-Pierre T. M. M. G.; De Kock,

Herman Augustinus; Tahri, Abdellah; Erra Sola,

Montserrat

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2002081478 WO 2002081478	A2 20021017 A3 20030501		20020409
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY, B	Z, CA, CH, CN,
CO, CR, CU,	CZ, DE, DK, DM,	DZ, EC, EE, ES, FI, G	B, GD, GE, GH,
GM, HR, HU,	ID, IL, IN, IS,	JP, KE, KG, KP, KR, K	Z, LC, LK, LR,
LS, LT, LU,	LV, MA, MD, MG,	MK, MN, MW, MX, MZ, N	O, NZ, OM, PH,
PL, PT, RO,	RU, SD, SE, SG,	SI, SK, SL, TJ, TM, T	N, TR, TT, TZ,
UA, UG, US,	UZ, VN, YU, ZA,	ZM, ZW	
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM, Z	W, AM, AZ, BY,
		BE, CH, CY, DE, DK, E	

	GR,	IE,	IT,	LU,	MC, NL,	PT,	SE, TH	R, BF,	ВJ,	CF,	CG,	CI	, CM,	GA,
	•				MR, NE,	SN,	TD, TO	G						
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BR	20020087	96		A	2004	0309	BR	2002-	8796				20020	409
EP	1397367			A2	2004	0317	EP	2002-	72755	54			20020	409
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PRIORITY	APPLN.	INFO	.:					2001-		-			20010	
								2001-					20010	
							WO	2002-	EP401	12			20020	
							US	2003-	47416	52		А3	20031	007

OTHER SOURCE(S): MARPAT 137:310904

AB Benzoxazole sulfonamides of formula I [R1 = H, alkyl, alkenyl, arylalkyl, aryl, etc.; R2 = H, alkyl; R3 = alkyl, aryl, cycloalkyl, cycloalkyl-alkyl, arylalkyl; R4 = H, alkyloxycarbonyl, carboxyl, aminocarbonyl, etc.; R5 = H, OH, alkyl, etc.; R6 = alkyloxy, aryl, aryloxy, etc.; L = CO, O-CO, NHCO, O-alkyl-CO, SO2, etc.; A = alkylene, CO, CS, SO2, etc.] are prepared as broad-spectrum HIV protease inhibitors. The compds. can also be combined with another anti-retroviral agent, and be used in assays as reference compds. or as reagents. Thus, II was prepared, and was effective in inhibiting a broad range of mutant strains in a cellular assay.

IT 470704-98-4

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of aminobenzoxazole sulfonamides as broad-spectrum HIV protease inhibitors)

RN 470704-98-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 5-thiazolylmethylester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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